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* * * * * * * * * * * * Welcome to STN International * * * * * * * * *

NEWS 1 Web Page for STN Seminar Schedule - N. America
NEWS 2 MAR 31 IFICDB, IFIPAT, and IFIUDB enhanced with new custom
IPC display formats
NEWS 3 MAR 31 CAS REGISTRY enhanced with additional experimental
spectra
NEWS 4 MAR 31 CA/Caplus and CASREACT patent number format for U.S.
applications updated
NEWS 5 MAR 31 LPCI now available as a replacement to LDPCI
NEWS 6 MAR 31 EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS 7 APR 04 STN AnaVist, Version 1, to be discontinued
NEWS 8 APR 15 WPIDS, WINDEX, and WPIX enhanced with new
predefined hit display formats
NEWS 9 APR 28 EMBASE Controlled Term thesaurus enhanced
NEWS 10 APR 28 IMSRESEARCH reloaded with enhancements
NEWS 11 MAY 30 INPAFAMDB now available on STN for patent family
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NEWS 12 MAY 30 DGENE, PCTGEN, and USGENE enhanced with new homology
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NEWS 13 JUN 06 EPFULL enhanced with 260,000 English abstracts
NEWS 14 JUN 06 KOREPAT updated with 41,000 documents
NEWS 15 JUN 13 USPATFULL and USPAT2 updated with 11-character
patent numbers for U.S. applications
NEWS 16 JUN 19 CAS REGISTRY includes selected substances from
web-based collections
NEWS 17 JUN 25 CA/Caplus and USPAT databases updated with IPC
reclassification data
NEWS 18 JUN 30 AEROSPACE enhanced with more than 1 million U.S.
patent records
NEWS 19 JUN 30 EMBASE, EMBAL, and LEMBASE updated with additional
options to display authors and affiliated
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NEWS 20 JUN 30 STN on the Web enhanced with new STN AnaVist
Assistant and BLAST plug-in
NEWS 21 JUN 30 STN AnaVist enhanced with database content from EPFULL
NEWS 22 JUL 28 CA/Caplus patent coverage enhanced
NEWS 23 JUL 28 EPFULL enhanced with additional legal status
information from the epoline Register
NEWS 24 JUL 28 IFICDB, IFIPAT, and IFIUDB reloaded with enhancements
NEWS 25 JUL 28 STN Viewer performance improved

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
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COST IN U.S. DOLLARS

| | SINCE FILE ENTRY | TOTAL SESSION |
|---------------------|------------------|---------------|
| FULL ESTIMATED COST | 0.21 | 0.21 |

FILE 'REGISTRY' ENTERED AT 12:09:46 ON 29 JUL 2008
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STRUCTURE FILE UPDATES: 28 JUL 2008 HIGHEST RN 1036756-19-00
DICTIONARY FILE UPDATES: 28 JUL 2008 HIGHEST RN 1036756-19-00

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<http://www.cas.org/support/stngen/stndoc/properties.html>

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ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :

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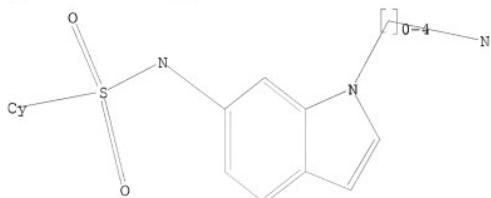
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ring bonds :
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3-10 5-7 7-8 7-14 10-11 11-12 11-18 11-19 14-15
exact bonds :
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normalized bonds :
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isolated ring systems :
containing 1 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 14:CLASS 15:CLASS 18:Atom 19:CLASS

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L1 STRUCTURE UPLOADED

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=> d 11  
L1 HAS NO ANSWERS  
L1          STR
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Structure attributes must be viewed using STN Express query preparation.

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=> s 11 full
FULL SEARCH INITIATED 12:10:04 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -        423 TO ITERATE
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100.0% PROCESSED 423 ITERATIONS 9 ANSWERS
SEARCH TIME: 00.00.01

L2 9 SEA SSS FULL L1

=> file caplus
 COST IN U.S. DOLLARS

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|---------------------|------------|--------|
| ENTRY | SESSION | |
| FULL ESTIMATED COST | 128.36 | 128.53 |

FILE 'CAPLUS' ENTERED AT 12:10:08 ON 29 JUL 2008
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FILE COVERS 1907 - 29 Jul 2008 VOL 149 ISS 5
FILE LAST UPDATED: 28 Jul 2008 (20080728/ED)

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=> s 13 full
L3 NOT FOUND
The L-number entered has not been defined in this session, or it has been deleted. To see the L-numbers currently defined in this session, enter DISPLAY HISTORY at an arrow prompt (>).

=> s 12 full
L3 4 L2

=> d ibib abs hitstr tot

L3 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2005:136598 CAPLUS
 DOCUMENT NUMBER: 142:240323
 TITLE: Active substance combination comprising a compound
 with NPY receptor affinity and a compound with 5-HT6
 receptor affinity
 INVENTOR(S): Torrens Jover, Antoni; Mas Prio, Josep; Dordal Zueras,
 Alberto; Codony Soler, Xavier; Merce Vidal, Ramon;
 Aurelio Castrillo Perez, Jose; Frigola Constansa,
 Jordi; Buschmann, Helmut-Heinrich
 PATENT ASSIGNEE(S): Laboratorios del Esteve S. A., Spain
 SOURCE: PCT Int. Appl., 427 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|------------|
| WO 2005014045 | A1 | 20050217 | WO 2004-EP8514 | 20040729 |
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CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
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| ES 2228268 | A1 | 20050401 | ES 2003-1815 | 20030730 |
| ES 2228268 | B1 | 20060701 | | |
| AU 2004262488 | A1 | 20050217 | AU 2004-262488 | 20040729 |
| CA 2534099 | A1 | 20050217 | CA 2004-2534099 | 20040729 |
| EP 1660131 | A1 | 20060531 | EP 2004-741321 | 20040729 |
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| IN 2005DN06119 | A | 20080711 | IN 2005-DN6119 | 20051228 |
| MX 2006PA01230 | A | 20060515 | MX 2006-PA1230 | 20060130 |
| US 20070099597 | A1 | 20070111 | US 2006-566402 | 20060705 |
| PRIORITY APPLN. INFO.: | | | ES 2003-1815 | A 20030730 |
| | | | WO 2004-EP8514 | W 20040729 |

OTHER SOURCE(S): CASREACT 142:240323; MARPAT 142:240323

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention relates to an active substance combination comprising at least one compound I [R1-R4 = H, halo, alkyl, etc.; R5 = H, alkyl, (un)saturated cycloalkyl; R6-R9 = H, alkyl, (un)saturated cycloalkyl, etc.;

A = CHR18, CHR18CH2; B = alkyl, (un)saturated cycloalkyl, etc.; R10 = H, alkyl, (un)saturated cycloalkyl, etc.; R11 = alkyl, (un)saturated cycloalkyl, etc.; NR10R11 = (un)saturated heterocyclyl; R18 = H, alkyl, (un)saturated cycloalkyl, etc.] with neuropeptide Y-receptor affinity, preferably neuropeptide Y5-receptor affinity, and at least one compound with 5-HT6 receptor affinity (such as II [R1 = H, alkyl, Ph, CH2PH; R2 = NR4R5,

(un)saturated (hetero)cycloalkyl, etc.; R3 = H, alkyl; R4, R5 = H, alkyl; or NR4R5 = (un)saturated heterocyclyl; A = (un)substituted (hetero)aryl; n = 0-4]), a medicament comprising said active substance combination, and the use of said active substance combination for the manufacture of a medicament. Synthesis of amides I and sulfonamides such as II is described in examples. E.g., a multi-step synthesis of III.HCl, starting from 1-(tert-butoxycarbonyl)-4-piperidinone and Me antranilate, was given. The amides I and sulfonamides such as II were tested against neuropeptide Y5 and 5-HT6 binding (data given for representative compds.).

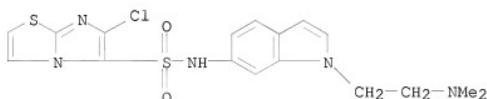
IT 753020-88-1P 753020-90-5P 753020-91-6P
844477-59-4P 844477-64-1P 844477-68-5P
844477-70-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of amides and sulfonamides as components of active combination with NPY receptor affinity and 5-HT6 receptor affinity)

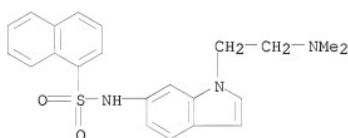
RN 753020-88-1 CAPLUS

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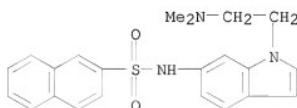
RN 753020-90-5 CAPLUS

CN 1-Naphthalenesulfonamide, N-[1-[2-(dimethylamino)ethyl]-1H-indol-6-yl]-(CA INDEX NAME)



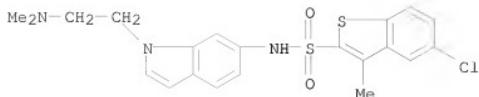
RN 753020-91-6 CAPLUS

CN 2-Naphthalenesulfonamide, N-[1-[2-(dimethylamino)ethyl]-1H-indol-6-yl]-(CA INDEX NAME)



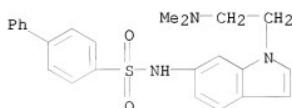
RN 844477-59-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[1-[2-(dimethylamino)ethyl]-1H-indol-6-yl]-3-methyl- (CA INDEX NAME)



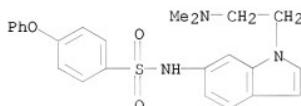
RN 844477-64-1 CAPLUS

CN [1,1'-Biphenyl]-4-sulfonamide, N-[1-[2-(dimethylamino)ethyl]-1H-indol-6-yl]- (CA INDEX NAME)



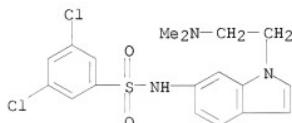
RN 844477-68-5 CAPLUS

CN Benzenesulfonamide, N-[1-[2-(dimethylamino)ethyl]-1H-indol-6-yl]-4-phenoxy- (CA INDEX NAME)



RN 844477-70-9 CAPLUS

CN Benzenesulfonamide, 3,5-dichloro-N-[1-[2-(dimethylamino)ethyl]-1H-indol-6-yl]- (CA INDEX NAME)



REFERENCE COUNT:

4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:136568 CAPLUS

DOCUMENT NUMBER: 142:240322

TITLE: Active substance combination comprising a compound with NPY receptor affinity and a compound with 5-HT6 receptor affinity

INVENTOR(S): Torrens Jover, Antoni; Mas Prio, Josep; Dordal Zueras, Alberto; Codony Soler, Xavier; Merce Vidal, Ramon; Aurelio Castrillo Perez, Jose; Frigola Constansa, Jordi; Buschmann, Helmut-Heinrich

PATENT ASSIGNEE(S): Laboratorios del Esteve S. A., Spain

SOURCE: PCT Int. Appl., 451 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 2005014000 | A1 | 20050217 | WO 2004-EP8515 | 20040729 |
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| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| ES 2228267 | A1 | 20050401 | ES 2003-1814 | 20030730 |
| ES 2228267 | B1 | 20060701 | | |
| AU 2004262489 | A1 | 20050217 | AU 2004-262489 | 20040729 |
| CA 2534100 | A1 | 20050217 | CA 2004-2534100 | 20040729 |
| EP 1648468 | A1 | 20060426 | EP 2004-763612 | 20040729 |
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| IN 2005DN06118 | A | 20080711 | IN 2005-DN6118 | 20051228 |
| MX 2006PA01232 | A | 20060515 | MX 2006-PA1232 | 20060130 |
| US 20070059364 | A1 | 20070315 | US 2006-566100 | 20061026 |
| PRIORITY APPLN. INFO.: | | | ES 2003-1814 | A 20030730 |
| | | | WO 2004-EP8515 | W 20040729 |

OTHER SOURCE(S): MARPAT 142:240322

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention relates to an active substance combination comprising at least one compound I ($R_1-R_4 = H$, halo, alkyl, etc.; $R_5 = H$, alkyl, (un)saturated (hetero)cycloalkyl; $R_6-R_9 = H$, alkyl, (un)saturated (hetero)cycloalkyl, etc.; $A = \text{CHR}18, \text{CHR}18\text{CH}2$; $R_{10} = H$, alkyl, (un)saturated cycloalkyl, etc.; $R_{11} = \text{alkyl}$, (un)saturated cycloalkyl, etc.; $NR_1R_{11} = (\text{un})\text{saturated heterocyclyl}$; $R_{12} = H$, alkyl, (un)saturated cycloalkyl, etc.)

with

neuropeptide Y-receptor affinity, preferably neuropeptide Y5-receptor affinity, and at least one compound with 5-HT6 receptor affinity (such as II [$R_1 = H$, alkyl, Ph, CH_2PH ; $R_2 = NR_4R_5$, (un)saturated (hetero)cycloalkyl, etc.;

R3 = H, alkyl; R4, R5 = H, alkyl; or NR4R5 = (un)saturated heterocyclyl; A = (un)substituted (hetero)aryl; n = 0-4), a medicament comprising said active substance combination, and the use of said active substance combination for the manufacture of a medicament. Synthesis of amides I and sulfonamides such as II is described in examples. Thus, reacting 6-chloro-1-(4-piperidinyl)-1,4-dihydro-2H-3,1-benzoxazinone hydrochloride with 2-(2-chloroacetamide)-2',5-dichlorobenzophenone in the presence of K2CO3 in DMF followed by treating of the free base with HCl/EtOH afforded 61a III.HCl. The amides I and sulfonamides such as II were tested against neuropeptide Y5 and 5-HT6 binding (data given for representative compds.).

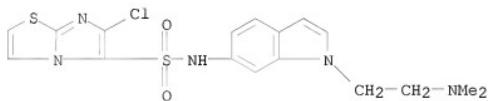
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

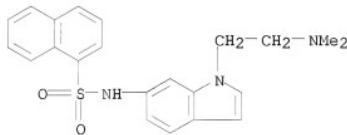
(preparation of amides and sulfonamides as components of active combination with NPY receptor affinity and 5-HT6 receptor affinity)

RN 753020-88-1 CAPLUS

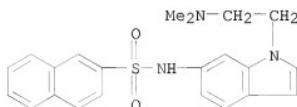
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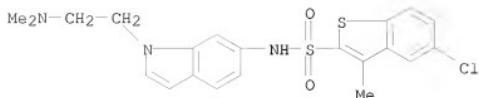
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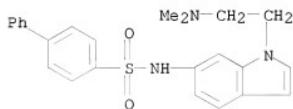
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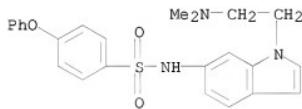
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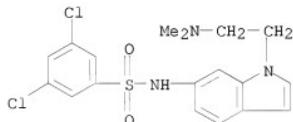
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RN 844477-68-5 CAPLUS
 CN Benzenesulfonamide, N-[1-[2-(dimethylamino)ethyl]-1H-indol-6-yl]-4-phenoxy- (CA INDEX NAME)



RN 844477-70-9 CAPLUS
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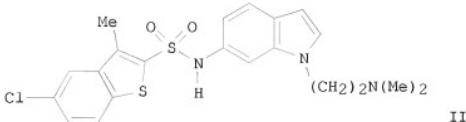
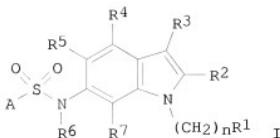
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THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2005:136548 CAPLUS
 DOCUMENT NUMBER: 142:240309
 TITLE: Preparation of indol-6-ylsulfonamide derivatives and
 their use as 5-HT₆ modulators
 INVENTOR(S): Merce Vidal, Ramon; Codony Soler, Xavier; Dordal
 Zueras, Alberto
 PATENT ASSIGNEE(S): Laboratorios del Esteve S. A., Spain
 SOURCE: PCT Int. Appl., 92 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| WO 2005013976 | A1 | 20050217 | WO 2004-EP8510 | 20040729 |
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AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
SN, TD, TG | | | | |
| ES 2222832 | A1 | 20050201 | ES 2003-1810 | 20030730 |
| ES 2222832 | B1 | 20060216 | | |
| AU 2004262484 | A1 | 20050217 | AU 2004-262484 | 20040729 |
| CA 2533970 | A1 | 20050217 | CA 2004-2533970 | 20040729 |
| EP 1660077 | A1 | 20060531 | EP 2004-741319 | 20040729 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK | | | | |
| CN 1832738 | A | 20060913 | CN 2004-8002271 | 20040729 |
| BR 2004013112 | A | 20061003 | BR 2004-13112 | 20040729 |
| JP 2007500164 | T | 20070111 | JP 2006-521528 | 20040729 |
| NZ 545301 | A | 20080530 | NZ 2004-545301 | 20040729 |
| MX 2006PA01141 | A | 20060424 | MX 2006-PA1141 | 20060127 |
| NO 2006000682 | A | 20060210 | NO 2006-682 | 20060210 |
| US 20070043041 | A1 | 20070222 | US 2006-566101 | 20060810 |
| PRIORITY APPLN. INFO.: | | | ES 2003-1810 | A 20030730 |
| | | | WO 2004-EP8510 | W 20040729 |
| OTHER SOURCE(S): GI | | | CASREACT 142:240309; MARPAT 142:240309 | |



AB Title compds. I [R1 = NR8R9 radical or a (un)saturated, optionally at least monosubstituted cycloaliph. radical which may contain at least one heteroatom; R2-5,7 independently = H, halo, NO₂, alkoxy, etc.; R6 = H or (un)saturated aliphatic radical optionally at least monosubstituted; R8 and R9

= H or (un)saturated aliphatic radical optionally at least monosubstituted with provisions, or R8 and R9 together with the N atom form a (un)saturated heterocyclic ring optionally at least monosubstituted; A = mono or polycyclic aromatic ring system which may be bonded via (un)substituted alkylene, alkenylene or alkynylene group; n = 0-4], and their pharmaceutically acceptable salts, are prepared and disclosed as useful for medicaments in human and/or veterinary therapeutics for diseases/disorders related to 5-HT₆ receptor. Thus, e.g., II was prepared by the reaction of 5-chloro-3-methylbenzo[b]thiophene-2-sulfonyl chloride with 6-amino-1-(2-dimethylaminoethyl)-1H-indole. Selected compds. of the invention were evaluated for binding with 5-HT₆ receptor; % inhibition values reported to range from 86.9-98.6 at 10-6M concns.

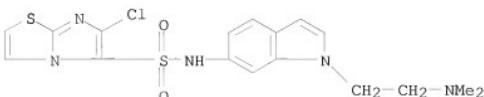
IT 753020-88-1P 753020-90-5P 753020-91-6P
 844477-59-4P 844477-64-1P 844477-68-5P
 844477-70-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of indol-6-ylsulfonamide derivs. as 5-HT₆ receptor modulators)

RN 753020-88-1 CAPLUS

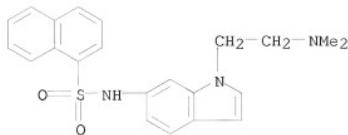
CN Imidazo[2,1-b]thiazole-5-sulfonamide, 6-chloro-N-[1-[2-(dimethylamino)ethyl]-1H-indol-6-yl]- (CA INDEX NAME)



RN 753020-90-5 CAPLUS

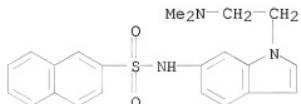
CN 1-Naphthalenesulfonamide, N-[1-[2-(dimethylamino)ethyl]-1H-indol-6-yl]-

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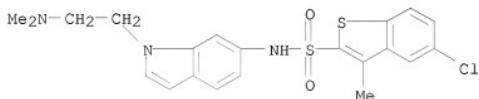
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(CA INDEX NAME)



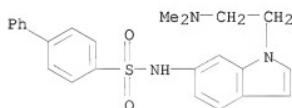
RN 844477-59-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[1-[2-(dimethylamino)ethyl]-1H-indol-6-yl]-3-methyl-
(CA INDEX NAME)



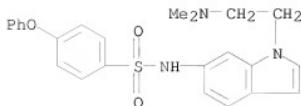
RN 844477-64-1 CAPLUS

CN [1,1'-Biphenyl]-4-sulfonamide, N-[1-[2-(dimethylamino)ethyl]-1H-indol-6-yl]-
(CA INDEX NAME)



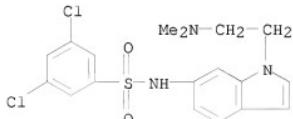
RN 844477-68-5 CAPLUS

CN Benzenesulfonamide, N-[1-[2-(dimethylamino)ethyl]-1H-indol-6-yl]-4-phenoxy-
(CA INDEX NAME)



RN 844477-70-9 CAPLUS

CN Benzenesulfonamide, 3,5-dichloro-N-(1-(2-(dimethylamino)ethyl)-1H-indol-6-yl)- (CA INDEX NAME)



REFERENCE COUNT:

5

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:725572 CAPLUS

DOCUMENT NUMBER: 142:211383

TITLE: Medicinal Chemistry Driven Approaches Toward Novel and Selective Serotonin 5-HT₆ Receptor Ligands

AUTHOR(S): Holenz, Joerg; Merce, Ramon; Diaz, Jose Luis; Guitart, Xavier; Codony, Xavier; Dordal, Alberto; Romero, Gonzalo; Torrens, Antoni; Mas, Josep; Andaluz, Blas; Hernandez, Susana; Monroy, Xavier; Sanchez, Elisabeth; Hernandez, Enrique; Perez, Raquel; Cubi, Roger; Sanfeliu, Olga; Buschmann, Helmut

CORPORATE SOURCE: Departments of Medicinal Chemistry, Discovery Biology and Discovery Chemistry, Laboratorios Dr. Esteve S.A., Barcelona, 08041, Spain

SOURCE: Journal of Medicinal Chemistry (2005), 48(6), 1781-1795

PUBLISHER: CODEN: JMCMAR; ISSN: 0022-2623
American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 142:211383

AB Based on a medicinal chemical guided hypothetical pharmacophore model, novel series of indolyl sulfonamides have been designed and prepared as selective and high-affinity serotonin 5-HT₆ receptor ligands. Furthermore, based on a screening approach of a discovery library, a series of benzoxazinepiperidinyl sulfonamides were identified as selective 5-HT₆ ligands. Many of the compds. described in this paper possess excellent affinities, displaying pKi values greater than 8 (some even >9) and high selectivities against a wide range (>50) of other CNS relevant receptors. First, structure-affinity relationships of these ligands are discussed. In terms of functionality, high-affinity antagonists, as well as agonists and even partial agonists, were prepared Compds. 19c and 19g represent the highest-affinity 5-HT₆ agonists ever reported in the literature. These valuable tool compds. should allow for the detailed study of the role of the 5-HT₆ receptor in relevant animal models of disorders such as cognition deficits, depression, anxiety, or obesity.

IT 753020-88-1P 753020-89-2P 753020-90-5P

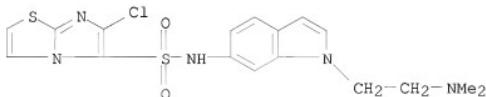
753020-91-6P 753020-93-8P

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(medicinal chemical driven approaches toward novel and selective serotonin 5-HT₆ receptor ligands)

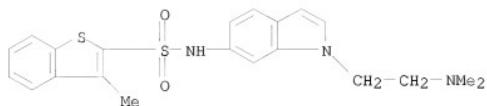
RN 753020-88-1 CAPLUS

CN Imidazo[2,1-b]thiazole-5-sulfonamide, 6-chloro-N-[1-[2-(dimethylamino)ethyl]-1H-indol-6-yl]- (CA INDEX NAME)

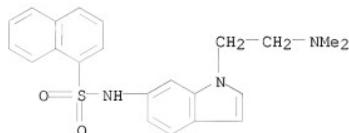


RN 753020-89-2 CAPLUS

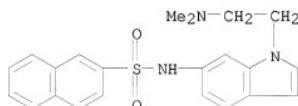
CN Benzo[b]thiophene-2-sulfonamide, N-[1-[2-(dimethylamino)ethyl]-1H-indol-6-yl]-3-methyl- (CA INDEX NAME)



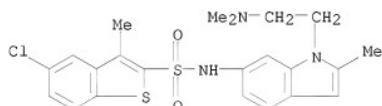
RN 753020-90-5 CAPLUS
CN 1-Naphthalenesulfonamide, N-[1-[2-(dimethylamino)ethyl]-1H-indol-6-yl]-
(CA INDEX NAME)



RN 753020-91-6 CAPLUS
CN 2-Naphthalenesulfonamide, N-[1-[2-(dimethylamino)ethyl]-1H-indol-6-yl]-
(CA INDEX NAME)



RN 753020-93-8 CAPLUS
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[1-[2-(dimethylamino)ethyl]-2-methyl-1H-indol-6-yl]-3-methyl- (CA INDEX NAME)



REFERENCE COUNT: 68 THERE ARE 68 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
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| FULL ESTIMATED COST | 22.28 | 200.85 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
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